

Monograph number: 10276

Title: Everolimus

CAS Registry Number: 159351-69-6

CAS Name: 42-O-(2-Hydroxyethyl)rapamycin

Additional Names: 40-O-(2-hydroxyethyl)rapamycin

Manufacturers' Codes: RAD-001 ; SDZ RAD

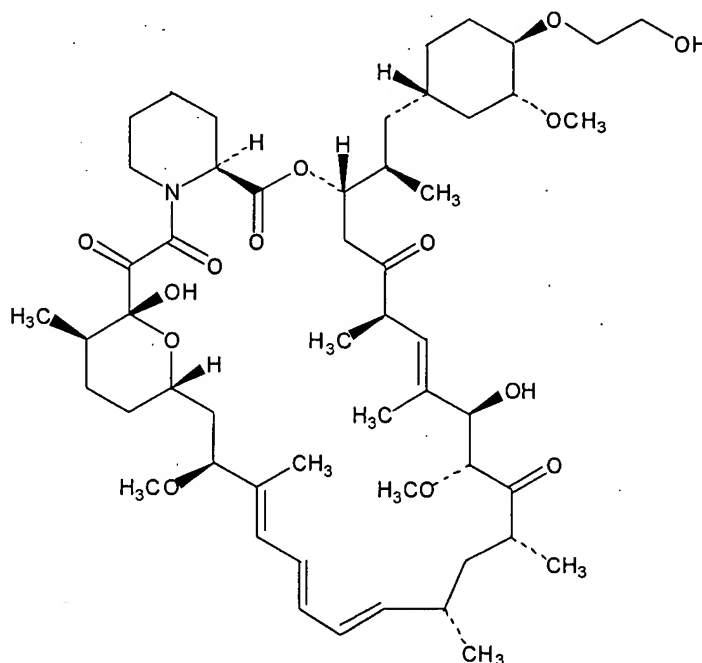
Trademarks: Certican (Novartis)

Molecular Formula: C₅₃H₈₃NO₁₄

Molecular Weight: 958.22

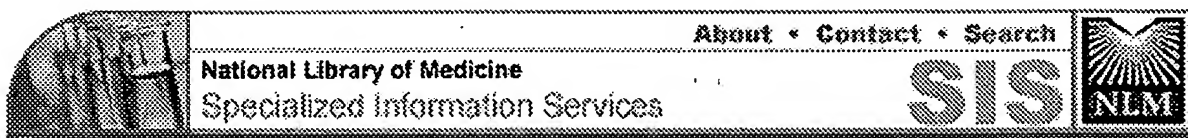
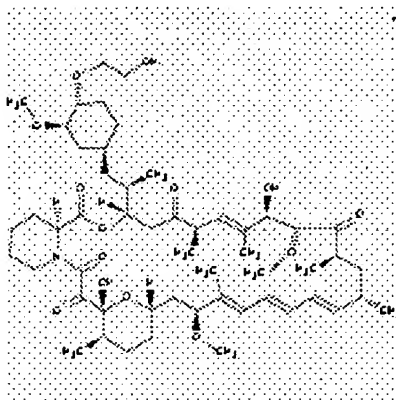
Percent Composition: C 66.43%, H 8.73%, N 1.46%, O 23.38%

Literature References: Macrolide immunosuppressant; derivative of rapamycin, *q.v.* Inhibits cytokine-mediated lymphocyte proliferation. Prep'n: S. Cottens, R. Sedrani, **WO** 9409010; *idem*, **US** 5665772 (1994, 1997 both to Sandoz). Pharmacology: W. Schuler *et al.*, *Transplantation* **64**, 36 (1997). LC/MS determ'n in blood: N. Brignol *et al.*, *Rapid Commun. Mass Spectrom.* **15**, 898 (2001). Clinical pharmacokinetics in combination with cyclosporine: J. M. Kovarik *et al.*, *Clin. Pharmacol. Ther.* **69**, 48 (2001). Clinical study in prevention of cardiac-allograft vasculopathy: H. J. Eisen *et al.*, *N. Engl. J. Med.* **349**, 847 (2003). Review: F. J. Dumont *et al.*, *Curr. Opin. Invest. Drugs* **2**, 1220-1234 (2001); B. Nashan, *Ther. Drug Monit.* **24**, 53-58 (2002).



Therapeutic Category: Immunosuppressant.

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**ChemIDplus Advanced**[Tox. & Env. Health](#) [TOXNET](#) [Return to Results Page](#)**Everolimus [USAN]****RN: 159351-69-6****MW: 958.232****Names and Synonyms****Name of Substance****1** Everolimus [USAN]**Synonyms**

- 1** 42-O-(2-Hydroxy)ethyl rapamycin
- 1** Certican
- 1** Everolimus
- 1** RAD
- 1** RAD 001
- 1** SDZ-RAD

Systematic Name






1 (1R,9S,12S,15R,16E,18R,19R,21R,23S,24E,26E,28E,30S,32S,35R)-1,18-Dihydroxy-12-((1R)-2-((1S,3R,4R)-4-(2-hydroxyethoxy)-3-methoxycyclohexyl)-1-methylethyl)-19,30-dimethoxy-15,17,21,23,29,35-hexamethyl-11,36-dioxo-4-azatricyclo(30.3.1.04,9)hexatriaconta-16,24,26,28-tetraene-2,3,10,14,20-pentaone

1 Rapamycin, 42-O-(2-hydroxyethyl)-

Registry Numbers**CAS Registry Number****1** 159351-69-6**System Generated Number****1** 159351696**Classification Codes****Classification Code****1** Immunosuppressant**Formulas****Molecular Formula****1** C53-H83-N-O14

Locators

File Locator

AIDSLINE	 AIDS citations from MEDLINE
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Last modified on September 9, 2004.

Monograph number: 07511

Title: Pimecrolimus

CAS Registry Number: 137071-32-0

CAS Name: (3*S*,4*R*,5*S*,8*R*,9*E*,12*S*,14*S*,15*R*,16*S*,18*R*,19*R*,26*aS*)-3-[(1*E*)-2-[(1*R*,3*R*,4*S*)-4-Chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26*a*-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-15,19-epoxy-3*H*-pyrido[2,1-*c*][1,4]oxaazacyclotricosine-1,7,20,21(4*H*,23*H*)-tetrone

Additional Names: 33-*epi*-chloro-33-desoxyascomycin

Manufacturers' Codes: SDZ-ASM-981

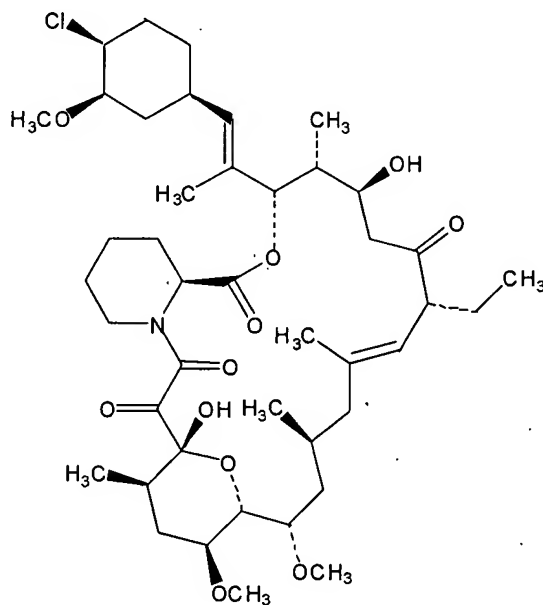
Trademarks: Elidel (Novartis)

Molecular Formula: C₄₃H₆₈ClNO₁₁

Molecular Weight: 810.45

Percent Composition: C 63.73%, H 8.46%, Cl 4.37%, N 1.73%, O 21.72%

Literature References: Macrolactam ascomycin derivative; inhibits production of pro-inflammatory cytokines by T cells and mast cells. Prepn: K. Baumann, G. Emmer, EP 427680 (1991 to Sandoz); *idem*, US 5912238 (1999 to Novartis). *In vitro* pharmacology: M. Gassberger *et al.*, *Br. J. Dermatol.* **141**, 264 (1999). Clinical evaluation in psoriasis: U. Mrowietz *et al.*, *ibid.* **139**, 992 (1998); in contact dermatitis: C. Queille-Roussel *et al.*, *Contact Dermatitis* **42**, 349 (2000).



Therapeutic Category: Immunosuppressant.

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Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	347	(540/456).CCLS.	US-PGPUB; USPAT	OR	OFF	2005/07/21 17:25
L2	10	L1 AND ("extraction column" "countercurrent" "counter current")	US-PGPUB; USPAT	OR	ON	2005/07/21 17:27
L3	234	L1 AND purification	US-PGPUB; USPAT	OR	ON	2005/07/21 17:26
L4	945	(210/634).CCLS.	US-PGPUB; USPAT	OR	OFF	2005/07/21 17:26
L5	2	L4 and (rapam\$ OR ascom\$ OR FK506).	US-PGPUB; USPAT	OR	ON	2005/07/21 17:26
L6	222	1 and (rapam\$ OR ascom\$ OR FK506)	US-PGPUB; USPAT	OR	ON	2005/07/21 17:27
L7	144	3 and 6	US-PGPUB; USPAT	OR	ON	2005/07/21 17:27